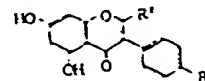


(5-4) IMMUNO-SUPPRESSOR

(11) 62-106016 (A) (43) 16.5.1987 (19) JP
 (21) Appl. No. 60-245508 (22) 1.11.1985
 (71) YAMANOUCHI PHARMACEUT CO LTD(1)
 (72) SHUNICHI WATANABE(3)
 (51) Int. Cl. A61K31/35; C07D311/34

PURPOSE: To provide an immuno-suppressor containing a specific isoflavone compound as an active component, having low toxicity and excellent immuno-suppressing activity and useful for the remedy and the prevention of relapse of autoimmune diseases such as rheumatoid arthritis, systemic lupus erythematosus, etc.

CONSTITUTION: The isoflavone compound of formula (R¹ is OH or methoxy; R² is H, carboxyl or ethoxycarbonyl) is used as an immuno-suppressing agent. Concrete examples of the compound are 5,7,4'-trihydroxyisoflavone, 5,7-dihydroxy-4'-methoxyisoflavone-2-carboxylic acid, etc. The compound of formula has excellent immuno-suppressing activity and is useful for the remedy and prevention of relapse of human autoimmune diseases such as chronic active hepatitis, osteoporosis, etc. It is administered orally or parenterally at a dose of usually 200-1,000mg/day.

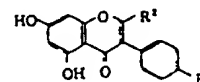


(5-4) ANTI-TUMOR AGENT

(11) 62-106017 (A) (43) 16.5.1987 (19) JP
 (21) Appl. No. 60-245509 (22) 1.11.1985
 (71) YAMANOUCHI PHARMACEUT CO LTD(1) (72) HIROSHI OGAWARA(2)
 (51) Int. Cl. A61K31/35; C07D311/34

PURPOSE: To provide an anti-tumor agent containing 5,7-dihydroxy-4'-substituted-isoflavone-2-carboxylic acid or its ethyl ester as an active component and having strong oncogene-originated tyrosine-specific phosphorylase-inhibiting activity.

CONSTITUTION: The 5,7-dihydroxy-4'-substituted-isoflavone-2-carboxylic acid of formula (R¹ is OH or methoxy; R² is carboxyl or ethoxycarbonyl) or its ethyl ester is used as an anti-tumor agent. The compound of formula 1 e.g. 5,7-dihydroxy-4'-methoxyisoflavone-2-carboxylic acid. The compound of formula strongly inhibits oncogene-originated tyrosine-specific phosphorylase which is suspected to participate in the canceration of normal cell and the proliferation of cancer cell. Accordingly, the agent is useful for the prevention of carcinogenesis and remedy of cancer.



(5-4) WATER-BASED PREPARATION CONTAINING VITAMIN E IN HIGH CONCENTRATION

(11) 62-106018 (A) (43) 16.5.1987 (19) JP
 (21) Appl. No. 60-246695 (22) 1.11.1985
 (71) SANTEN PHARMACEUT CO LTD (72) JUNICHI IWAO(2)
 (51) Int. Cl. A61K31/355; A61K9/10

PURPOSE: To obtain a water-based preparation containing vitamin E in high concentration and useful as a medicine such as ophthalmic solution, by using vitamin E or its fatty acid ester at a concentration essentially higher than the solubility in water at normal temperature and emulsifying the compound or dissolving the compound by the aid of a dissolution assistant.

CONSTITUTION: A water-based preparation containing homogeneously dissolved vitamin E in high concentration can be produced by adding a dissolution assistant (e.g. polysolvate 80, polyoxyethylene hardened castor oil, etc.) to a vitamin E compound (e.g. D1- α -tocopherol, d- α -tocopherol acetate, etc.). As an alternative, a water-based preparation containing emulsified vitamin E in high concentration is obtained by emulsifying a vitamin E compound with an emulsifier such as soybean lecithin. The water-based preparation has sufficiently high concentration (1-30%) to exhibit remedying effects such as antioxidation activity, oxygen-retaining activity, etc., and is applicable as an ophthalmic solution, etc.